

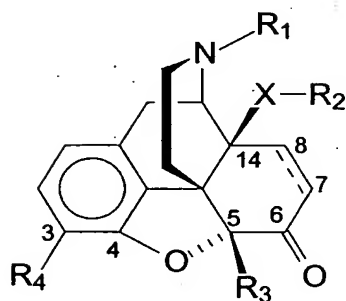
AMENDED SHEETS

06-08-2004

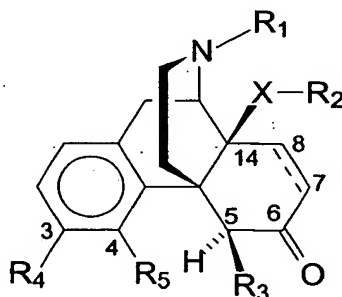
EP0306866

New Patent Claims 1 to 15 (amended under Art. 34 PCT)

1. Compounds of the formula (I) or (Ia),



(I)



(Ia)

in which the substituents have the following significance:

R₁: C₁-C₆-alkyl; C₂-C₆-alkenyl; C₂-C₆-alkinyl; C₃-C₁₆-(cyclical saturated group)alkyl, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyl, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyl, where alkinyl is C₂-C₆; C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyl, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyl, where aryl is C₆-C₁₀-aryl and alkinyl is C₂-C₆-alkinyl;

R₂: C₄-C₆-alkyl; C₂-C₆-alkenyl; C₂-C₆-alkinyl; C₃-C₁₆-(cyclical saturated group)alkyl, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyl, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyl, where alkinyl is C₂-C₆; C₈-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyl, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyl, where aryl is C₆-C₁₀-aryl and alkinyl is C₂-C₆-alkinyl; C₃-C₆-alkenoyl; C₃-C₆-alkinoyl; C₉-C₁₆-arylalkenoyl, where aryl is C₆-C₁₀-aryl and alkenoyl is C₃-C₆-alkenoyl; C₉-C₁₆-arylalkinoyl, where aryl is C₆-C₁₀-aryl and alkinoyl is C₃-C₆-alkinoyl;

R₃: hydrogen, C₁-C₆-alkyl; C₂-C₆-alkenyl; C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyl, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; alkoxyalkyl, where alkoxy is C₁-C₆-alkoxy and alkyl is C₁-C₆-alkyl; CO₂(C₁-C₆-alkyl); CO₂H; CH₂OH.

R₄: hydrogen; hydroxy; C₁-C₆-alkyloxy; C₂-C₁₀-alkyloxyalkoxy, where alkyloxy is C₁-C₄ and alkoxy is C₁-C₆-alkyloxy; C₂-C₆-alkenyloxy; C₂-C₆-alkinyloxy; C₃-C₁₆-(cyclical saturated group)alkyloxy, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyloxy, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyloxy where alkynyl is C₂-C₆; C₇-C₁₆-arylalkyloxy, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyloxy, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyloxy, where aryl is C₆-C₁₀-aryl and alkynyl is C₂-C₆-alkynyl; C₁-C₆-alkanoyloxy; C₃-C₆-alkenoyloxy; C₃-C₆-alkinoyloxy; C₇-C₁₆-arylalkanoyloxy, where aryl is C₆-C₁₀-aryl and alkanoyloxy is C₂-C₆-alkanoyloxy; C₉-C₁₆-arylalkenoyloxy, where aryl is C₆-C₁₀-aryl and alkenoyloxy is C₃-C₆-alkenoyloxy; C₉-C₁₆-arylalkinoyloxy, where aryl is C₆-C₁₀-aryl and alkinoyloxy is C₃-C₆-alkinoyloxy;

R₅: hydrogen; hydroxy; C₁-C₆-alkyloxy; C₂-C₁₀-alkyloxyalkoxy, where alkyloxy is C₁-C₄ and alkoxy is C₁-C₆-alkyloxy; C₂-C₆-alkenyloxy; C₂-C₆-alkinyloxy; C₃-C₁₆-(cyclical saturated group)alkyloxy, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyloxy, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyloxy, where alkynyl is C₂-C₆; C₇-C₁₆-arylalkyloxy, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyloxy, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyloxy, where aryl is C₆-C₁₀-aryl and alkynyl is C₂-C₆-alkynyl; C₂-C₆-alkanoyloxy; C₇-C₁₆-arylalkanoyloxy, where aryl is C₆-C₁₀-aryl and alkanoyloxy is C₂-C₆-alkanoyloxy;

X is oxygen;

wherein a single or double bond can be present between the carbon atoms of numbers 7 and 8,

wherein alkyl, alkenyl and alkynyl can each be branched or unbranched, aryl can be unsubstituted or mono-, di- or trisubstituted, independently in each case, with hydroxy, halogen, nitro, cyano, thiocyanato, trifluoromethyl, C₁-C₃-alkyl, C₁-C₃-alkoxy, CO₂H, CONH₂, CO₂(C₁-C₃-alkyl), CONH(C₁-C₃-alkyl), CON(C₁-C₃-alkyl)₂, CO(C₁-C₃-alkyl); amino; (C₁-C₃-monoalkyl)amino, (C₁-C₃-dialkyl)amino; C₅-C₆-cycloalkylamino, (C₁-C₃-alkanoyl)amido, SH, SO₃H, SO₃(C₁-C₃-alkyl), SO₂(C₁-C₃-alkyl), SO(C₁-C₃-alkyl), C₁-C₃-alkylthio or C₁-C₃-alkanoylthio,

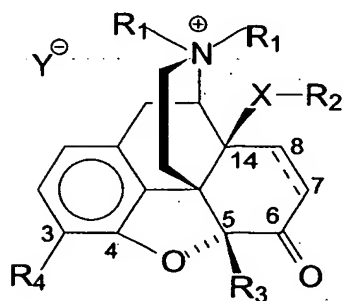
wherein -(cyclical saturated group) is either preferably C₃-C₁₀-cycloalkyl or a heterocyclical group with 2 to 9 carbon atoms, containing further one or more heteroatoms,

with the exception of compounds where R_1 is methyl, R_2 is C_4 - C_6 -alkyl, R_3 is hydrogen or methyl, R_4 is hydroxy or methoxy and R_5 is hydroxy, methoxy or an oxygen atom bound to the carbon atom in the 5th position, when X is oxygen;

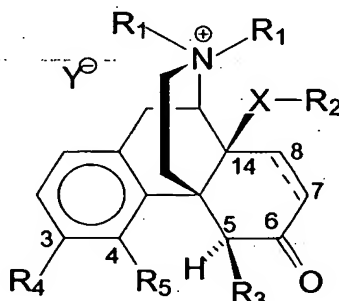
with the further exception of compounds where R_1 is cyclopropylmethyl and XR_2 is benzyloxy, when R_4 is oxygen or benzyloxy and R_5 is an oxygen atom bound to the carbon atom in the 5th position;

with the further exception of compounds where R_1 is cyclopropylmethyl and XR_2 is benzyloxy, when R_4 is oxygen, hydroxy or benzyloxy and R_5 is hydroxy or methoxy.

2. Compounds of the formula (IA) or (IAa),



(IA)



(IAa)

where the substituents have the following significance:

R_1 : C_1 - C_6 -alkyl; C_2 - C_6 -alkenyl; C_2 - C_6 -alkinyl; C_3 - C_{16} -(cyclical saturated group)alkyl, where alkyl is C_1 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkenyl, where alkenyl is C_2 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkinyl, where alkinyl is C_2 - C_6 ; C_7 - C_{16} -arylalkyl, where aryl is C_6 - C_{10} -aryl and alkyl is C_1 - C_6 -alkyl; C_8 - C_{16} -arylalkenyl, where aryl is C_6 - C_{10} -aryl and alkenyl is C_2 - C_6 -alkenyl; C_8 - C_{16} -arylalkinyl, where aryl is C_6 - C_{10} -aryl and alkinyl is C_2 - C_6 -alkinyl;

wherein the two substituents R_1 can be the same or different;

R_2 : C_1 - C_6 -alkyl; C_2 - C_6 -alkenyl; C_2 - C_6 -alkinyl; C_3 - C_{16} -(cyclical saturated group)alkyl, where alkyl is C_1 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkenyl, where alkenyl is C_2 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkinyl, where alkinyl is C_2 - C_6 ; C_8 - C_{16} -arylalkyl, where aryl is C_6 - C_{10} -aryl and alkyl is C_1 - C_6 -alkyl; C_8 - C_{16} -arylalkenyl, where aryl is C_6 - C_{10} -aryl and alkenyl is C_2 - C_6 -alkenyl; C_8 - C_{16} -arylalkinyl, where aryl is C_6 - C_{10} -aryl and alkinyl is C_2 - C_6 -alkinyl; C_3 - C_6 -alkenoyl; C_3 - C_6 -alkinoyl; C_9 - C_{16} -arylalkenoyl, where aryl is C_6 - C_{10} -aryl and alkenoyl is C_3 - C_6 -alkenoyl; C_9 - C_{16} -arylalkinoyl, where aryl is C_6 - C_{10} -aryl and alkinoyl is C_3 - C_6 -alkinoyl;

R₃: hydrogen, C₁-C₆-alkyl; C₂-C₆-alkenyl; C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyl, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; alkoxyalkyl, where alkoxy is C₁-C₆-alkoxy and alkyl is C₁-C₆-alkyl; CO₂(C₁-C₆-alkyl); CO₂H; CH₂OH.

R₄: hydrogen; hydroxy; C₁-C₆-alkyloxy; C₂-C₁₀-alkyloxyalkoxy, where alkyloxy is C₁-C₄ and alkoxy is C₁-C₆-alkyloxy; C₂-C₆-alkenyloxy; C₂-C₆-alkinyloxy; C₃-C₁₆-(cyclical saturated group)alkyloxy, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyloxy, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyloxy where alkynyl is C₂-C₆; C₇-C₁₆-arylalkyloxy, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyloxy, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyloxy, where aryl is C₆-C₁₀-aryl and alkynyl is C₂-C₆-alkynyl; C₂-C₆-alkanoyloxy; C₃-C₆-alkenoyloxy; C₃-C₆-alkinoyloxy; C₈-C₁₆-arylalkanoyloxy, where aryl is C₆-C₁₀-aryl and alkanoyloxy is C₂-C₆-alkanoyloxy; C₉-C₁₆-arylalkenoyloxy, where aryl is C₆-C₁₀-aryl and alkenoyloxy is C₃-C₆-alkenoyloxy; C₉-C₁₆-arylalkinoyloxy, where aryl is C₆-C₁₀-aryl and alkinoyloxy is C₃-C₆-alkinoyloxy;

R₅: hydrogen; hydroxy; C₁-C₆-alkyloxy; C₂-C₁₀-alkyloxyalkoxy, where alkyloxy is C₁-C₄ and alkoxy is C₁-C₆-alkyloxy; C₂-C₆-alkenyloxy; C₂-C₆-alkinyloxy; C₃-C₁₆-(cyclical saturated group)alkyloxy, where alkyl is C₁-C₆; C₄-C₁₆-(cyclical saturated group)alkenyloxy, where alkenyl is C₂-C₆; C₄-C₁₆-(cyclical saturated group)alkinyloxy, where alkynyl is C₂-C₆; C₇-C₁₆-arylalkyloxy, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyloxy, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; C₈-C₁₆-arylalkinyloxy, where aryl is C₆-C₁₀-aryl and alkynyl is C₂-C₆-alkynyl; C₂-C₆-alkanoyloxy; C₇-C₁₆-arylalkanoyloxy, where aryl is C₆-C₁₀-aryl and alkanoyloxy is C₂-C₆-alkanoyloxy;

X is oxygen;

Y⁻ is I⁻, Br⁻, Cl⁻, OH⁻ or another pharmacologically acceptable counterion;

wherein a single or double bond can be present between the carbon atoms of numbers 7 and 8,

wherein alkyl, alkenyl and alkynyl can each be branched or unbranched, aryl can be unsubstituted or mono-, di- or trisubstituted, independently in each case, with hydroxy, halogen, nitro, cyano, thiocyanato, trifluoromethyl, C₁-C₃-alkyl, C₁-C₃-alkoxy, CO₂H, CONH₂, CO₂(C₁-C₃-alkyl), CONH(C₁-C₃-alkyl), CON(C₁-C₃-alkyl)₂, CO(C₁-C₃-alkyl); amino; (C₁-C₃-monoalkyl)amino, (C₁-C₃-dialkyl)amino; C₅-C₆-cycloalkylamino, (C₁-C₃-alkanoyl)amido, SH, SO₃H, SO₃(C₁-C₃-alkyl), SO₂(C₁-C₃-alkyl), SO(C₁-C₃-alkyl), C₁-C₃-alkylthio or C₁-C₃-alkanoylthio,

wherein -(cyclical saturated group) is either preferably C₃-C₁₀-cycloalkyl or a heterocyclical group with 2 to 9 carbon atoms, containing furthermore one or more heteroatoms.

3. Compounds of the formulae (I) or (IA) of Claims 1 and 2, in which X is oxygen; R₁ is C₁-C₆-alkyl; C₂-C₆-alkenyl; C₄-C₁₆-cycloalkylalkyl, where cycloalkyl is C₃-C₁₀ and alkyl is C₁-C₆; C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; R₂ is C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; C₈-C₁₆-arylalkenyl, where aryl is C₆-C₁₀-aryl and alkenyl is C₂-C₆-alkenyl; R₃ is hydrogen or methyl; R₄ is hydroxy, methoxy or acetoxy.

4. Compounds of the formula (IA) of Claim 2, in which X is oxygen; R₁ is C₁-C₆-alkyl; C₂-C₆-alkenyl; C₄-C₁₆-cycloalkylalkyl, where cycloalkyl is C₃-C₁₀ and alkyl is C₁-C₆; C₇-C₁₆-arylalkyl, where aryl is C₆-C₁₀-aryl and alkyl is C₁-C₆-alkyl; R₂ is C₁-C₆-alkyl or C₂-C₆-alkenyl, R₃ is hydrogen or methyl; R₄ is hydroxy, methoxy or acetoxy.

5. Compounds of Claims 1 and 2, selected from:

17-allyl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-allyl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-allyl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-allyl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclobutylmethyl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclobutylmethyl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclobutylmethyl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclobutylmethyl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 4,5 α -epoxy-3-methoxy-5 β ,17-dimethyl-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-3-hydroxy-5 β ,17-dimethyl-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 17-propyl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-propyl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-propyl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-propyl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-tetrahydrofurfuryl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-tetrahydrofurfuryl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-tetrahydrofurfuryl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-tetrahydrofurfuryl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-(2-phenylethyl)-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-(2-phenylethyl)-4,5 α -epoxy-3-hydroxy-14 β -(3-

phenylpropyloxy)morphinan-6-one, 17-(2-phenylethyl)-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-(2-phenylethyl)-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-ethyl-4,5 α -epoxy-3-methoxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-ethyl-4,5 α -epoxy-3-hydroxy-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-ethyl-4,5 α -epoxy-3-methoxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-ethyl-4,5 α -epoxy-3-hydroxy-5 β -methyl-14 β -(3-phenylpropyloxy)morphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-14 β -[(2-methylbenzyl)oxy]morphinan-6-one, 14 β -[(2-chlorobenzyl)oxy]-17-(cyclopropylmethyl)-4,5 α -epoxy-3-hydroxymorphinan-6-one, 14 β -benzyloxy-17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxymorphinan-6-one, 14 β -butoxy-17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxymorphinan-6-one, 17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-14 β -[(3-methylbutyl)oxy]morphinan-6-one, 4,5 α -epoxy-5 β ,17-dimethyl-14 β -[(3-phenylpropyl)oxy]-3-[(prop-2-ynyl)oxy]morphinan-6-one, 14 β -[(3-chlorobenzyl)oxy]-4,5 α -epoxy-17-methyl-3-[(prop-2-ynyl)oxy]morphinan-6-one, 4,5 α -epoxy-17-ethyl-3-methoxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-17-ethyl-3-hydroxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-3-hydroxy-14 β -[(3-methylbutyl)oxy]-17-propylmorphinan-6-one, 5 β -benzyl-14-methoxycodeinone (= 5-benzyl-7,8-didehydro-4,5 α -epoxy-3,14 β -dimethoxy-17-methyl-morphinan-6-one), 5 β -benzyl-4,5 α -epoxy-3,14 β -dimethoxy-17-methylmorphinan-6-one, 5 β -benzyl-4,5 α -epoxy-3-hydroxy-14 β -methoxy-17-methylmorphinan-6-one, 4-hydroxy-3-methoxy-17-methyl-14-[(3-phenylpropyl)oxy]-morphinan-6-one, 3,4-dimethoxy-17-methyl-14-[(3-phenylpropyl)oxy]-morphinan-6-one, 14 β -benzyloxy-4-hydroxy-3-methoxy-17-methylmorphinan-6-one, 14 β -benzyloxy-3,4-dimethoxy-17-methylmorphinan-6-one, 4-hydroxy-3-methoxy-17-methyl-14 β -[(2-naphthylmethyl)oxy]morphinan-6-one, 3,4-dimethoxy-17-methyl-14 β -[(2-naphthylmethyl)oxy]morphinan-6-one, 4-hydroxy-3-methoxy-5 β ,17-dimethyl-14 β -[(3-phenylpropyl)oxy]-morphinan-6-one, 3,4-dimethoxy-5 β ,17-dimethyl-14 β -[(3-phenylpropyl)oxy]-morphinan-6-one, 14 β -ethoxy-4-hydroxy-3-methoxy-5 β ,17-dimethylmorphinan-6-one, 14 β -ethoxy-3,4-dimethoxy-5 β ,17-dimethylmorphinan-6-one, 14 β -benzyloxy-3,4-dimethoxy-5 β ,17-dimethylmorphinan-6-one, 4,5 α -epoxy-3-hydroxy-17,17-dimethyl-6-oxo-14 β -[(3-phenylpropyl)oxy]morphinan-6-ium-iodide, (17S)-4,5 α -epoxy-17-ethyl-3-hydroxy-17-methyl-6-oxo-14 β -[(3-phenylpropyl)oxy]morphinan-6-ium-iodide, (17R)-4,5 α -epoxy-3-hydroxy-17-methyl-6-oxo-14 β -[(3-phenylpropyl)oxy]-17-[(2(R,S)-tetrahydrofuran-2-yl)methyl]morphinan-6-ium-iodide, (17R)-17-allyl-4,5 α -epoxy-14 β -ethoxy-3-hydroxy-17-methyl-6-oxomorphinan-6-ium-iodide, (17R)-17-allyl-4,5 α -epoxy-3-hydroxy-14 β -methoxy-17-methyl-6-oxomorphinan-6-ium-iodide, (17S)-17-allyl-4,5 α -epoxy-3-hydroxy-14 β -methoxy-17-methyl-6-oxomorphinan-6-ium-iodide, 4,5 α -epoxy-3-hydroxy-14 β -methoxy-17,17-dimethyl-6-oxo-morphinan-6-ium-iodide, 5 β -benzyl-14 β -(butyloxy)-4,5 α -epoxy-3-hydroxy-17,17-dimethyl-6-oxomorphinan-6-ium-iodide, (17S)-17-allyl-5 β -benzyl-14 β -butoxy-4,5 α -epoxy-3-hydroxy-17-methyl-6-oxomorphinan-6-ium-iodide, 14 β -butoxy-4,5 α -epoxy-3-hydroxy-17,17-dimethyl-6-oxomorphinan-6-ium-iodide, (17R)-17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-17-methyl-6-oxo-14 β -[(3-

phenylpropyl)oxy]morphinan-6-one, (17R)-17-cyclopropylmethyl-4,5 α -epoxy-3-methoxy-17-methyl-6-oxo-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, (17R)-17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-17-methyl-6-oxo-14 β -[(2-phenylbenzyl)oxy]morphinan-6-one, (17R)-14 β -[(4-chlorobenzyl)oxy]-17-cyclopropylmethyl-4,5 α -epoxy-3-hydroxy-17-methyl-6-oxomorphinan-6-one, 17(R)-4,5 α -epoxy-3-hydroxy-14 β -methoxy-17-methyl-6-oxo-17-(2-phenylethyl)morphinan-6-one, 4,5 α -epoxy-3-methoxy-17-methyl-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-3-methoxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-3-hydroxy-17-methyl-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-17-methyl-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 17-(cyclopropylmethyl)-4,5 α -epoxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4,5 α -epoxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 17-(cyclopropylmethyl)-4-hydroxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 17-(cyclopropylmethyl)-4-methoxy-14 β -[(3-phenylpropyl)oxy]morphinan-6-one, 4-(n-butyloxy)-17-(cyclopropylmethyl)-14 β -[(3-phenylpropyl)oxy]morphinan-6-one,

or any pharmaceutically acceptable salt or easily accessible derivative of it.

6. Composition, comprising a compound of Claims 1 to 5 and/or a pharmaceutically acceptable acid addition salt of it, together with a pharmaceutically acceptable carrier substance.

7. Compound according to any of Claims 1 to 6 as medicament.

8. Use of a compound of Claims 1 to 5 for the manufacture of a medicament for the treatment of pain, including chronic and acute pain, post-operative pain, rheumatic diseases (e.g. arthritis), ileus, obstipation, overweight, addiction, including opioid, cocaine and alcohol addiction as well as for the manufacture of a narcotic.

9. Compounds according to Claim 1 or 2, wherein R₅ is OH or alkoxy.

10. Compounds according to Claim 1, 2 or 9, wherein R₃ is hydrogen, alkyl or aralkyl, preferably hydrogen or alkyl.

11. Compounds according to Claim 1, 2, 9 or 10, wherein R₄ is OH, alkoxy or alkenyloxy or alkynyloxy.

12. Compounds according to Claim 1, 2, 9, 10 or 11, wherein a single bond is present between the carbon atoms of the numbers 7 and 8.

13. Compounds according to Claim 1, 2, 9, 10, 11 or 12, wherein R_2 is alkyl or aralkyl, preferably aralkyl.

14. Compounds according to Claim 1, 2, 9, 10, 11, 12 or 13, wherein R_1 is alkyl, (cyclical saturated group)alkyl, aralkyl or alkenyl.

15. Compounds according to Claim 1 or 2, wherein R_1 is C_1 - C_6 -alkyl; C_2 - C_6 -alkenyl; C_2 - C_6 -alkinyl; C_3 - C_{16} -(cyclical saturated group)alkyl, where alkyl is C_1 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkenyl, where alkenyl is C_2 - C_6 ; C_4 - C_{16} -(cyclical saturated group)alkinyl, where alkinyl is C_2 - C_6 ; C_7 - C_{16} -arylalkyl, where aryl is C_6 - C_{10} -aryl and alkyl is C_1 - C_6 -alkyl; C_8 - C_{16} -arylalkenyl, where aryl is C_6 - C_{10} -aryl and alkenyl is C_2 - C_6 -alkenyl; C_8 - C_{16} -arylalkinyl, where aryl is C_6 - C_{10} -aryl and alkinyl is C_2 - C_6 -alkinyl.